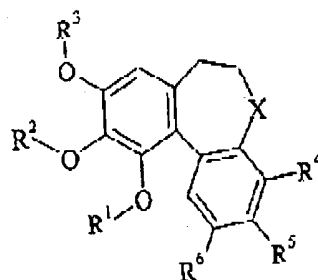


IN THE CLAIMS:

Claim 1 (canceled).

Claim 2 (currently amended and reformatted): A compound of the formula IIa:



(IIa)

wherein

X is  $-\text{CH}(\text{R}^7)-$  wherein  $\text{R}^7$  is hydrogen, hydroxy,  $\text{C}_{1-3}$ alkoxy,  $-\text{OR}^8$  or  $-\text{NR}^8\text{R}^9$ , wherein $\text{R}^8$  is a group  $-\text{Y}^1\text{R}^{10}$ , wherein $\text{Y}^1$  is a direct bond,  $-\text{C}(\text{O})-$ ,  $-\text{C}(\text{S})-$ ,  $-\text{S}-$ ,  $-\text{C}(\text{O})\text{O}-$ ,  $-\text{C}(\text{O})\text{NR}^{11}-$ ,  $-\text{SO}_2-$  or  $-\text{SO}_2\text{NR}^{12}-$  (wherein  $\text{R}^{11}$  and  $\text{R}^{12}$ , which may be the same or different, each independently represents hydrogen,  $\text{C}_{1-3}$ alkyl or  $\text{C}_{1-3}$ alkoxy $\text{C}_{2-3}$ alkyl) and $\text{R}^{10}$  is selected from one of the following nine groups:

- 1) hydrogen,  $\text{C}_{1-7}$ alkyl,  $\text{C}_3$  cycloalkyl,  $\text{C}_{1-4}$ alkyl $\text{Y}^8\text{C}_{1-4}$ alkyl wherein  $\text{Y}^8$  is as defined herein, or phenyl,

which alkyl, cycloalkyl, alkyl $\text{Y}^8$ alkyl or phenyl group may bear one or more substituents selected from: halogeno, amino,  $\text{C}_{1-4}$ alkylamino, di( $\text{C}_{1-4}$ alkyl)amino, hydroxy, carboxy, carbamoyl,  $\text{C}_{1-4}$ alkoxy,  $\text{C}_{1-4}$ alkylsulphanyl,  $\text{C}_{1-4}$ alkylsulphonyl,  $\text{C}_{1-4}$ alkoxycarbonylamino,  $\text{C}_{1-4}$ alkanoyl, phenyl, nitro, sulphate, phosphate,  $\text{Z}^1$ .

wherein  $Z^1$  represents a 5-6 membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno,  $C_{1-4}$ alkyl,  $C_{1-4}$ hydroxyalkyl,  $C_{1-4}$ alkoxy,  $C_{1-4}$ aminoalkyl,  $C_{1-7}$ alkanoyl, cyano $C_{1-4}$ alkyl,  $C_{1-4}$ alkoxy $C_{1-4}$ alkyl,  $C_{1-4}$ alkylsulphonyl $C_{1-4}$ alkyl and  $Z^2$ ,

(wherein  $Z^2$  is a 5-6 membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno,  $C_{1-4}$ alkyl,  $C_{1-4}$ hydroxyalkyl,  $C_{1-4}$ alkoxy,  $C_{1-4}$ aminoalkyl,  $C_{1-7}$ alkanoyl, cyano $C_{1-4}$ alkyl,  $C_{1-4}$ alkoxy $C_{1-4}$ alkyl and  $C_{1-4}$ alkylsulphonyl $C_{1-4}$ alkyl,

$C_{1-4}$ alkyl $Z^1$  (wherein  $Z^1$  is as defined herein), and a group  $-Y^2R^{13}$ ,  
wherein

$Y^2$  is  $-NR^{14}C(O)-$  or  $-O-C(O)-$  (wherein  $R^{14}$  represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl) and

$R^{13}$  is  $C_{1-7}$ alkyl,  $C_3-7$ cycloalkyl or a group  $R^{15}$  wherein  $R^{15}$  is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino,  $C_{1-4}$ alkyl,  $C_{1-4}$ haloalkyl,  $C_{1-4}$ alkoxy,  $C_{1-4}$ hydroxyalkyl,  $C_{1-4}$ aminoalkyl,  $C_{1-4}$ alkylamino,  $C_{1-4}$ hydroxyalkoxy, carboxy, cyano,  $-CONR^{16}R^{17}$  and  $-NR^{18}COR^{19}$  (wherein  $R^{16}$ ,  $R^{17}$ ,  $R^{18}$  and  $R^{19}$ , which may be the

same or different, each represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl)  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl;

- 2)  $R^{15}$  wherein  $R^{15}$  is as defined herein;
- 3)  $C_{2-7}$ alkenyl $R^{15}$  (wherein  $R^{15}$  is as defined herein);
- 4)  $C_{2-7}$ alkynyl $R^{15}$  (wherein  $R^{15}$  is as defined herein);
- 5)  $Z^1$  (wherein  $Z^1$  is as defined herein);
- 6)  $C_{1-7}$ alkyl $Z^1$  (wherein  $Z^1$  is as defined herein);
- 7)  $C_{1-7}$ alkyl $Y^8Z^1$ , wherein  $C_{1-7}$ alkyl $Y^8Z^1$  (wherein

$Z^1$  is as defined herein and

$Y^8$  is  $-C(O)-$ ,  $-NR^{59}C(O)-$ ,  $-NR^{59}C(O)C_{1-4}$ alkyl-,  $-C(O)NR^{60}-$  or  $-C(O)NR^{60}C_{1-4}$ alkyl-, (wherein  $R^{59}$  and  $R^{60}$ , which may be the same or different, each represents hydrogen,  $C_{1-3}$ alkyl,  $C_{1-3}$ hydroxyalkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl)  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl);

- 8)  $(C_{1-7}$ alkyl) $_cY^9Z^3$ , wherein  $(C_{1-7}$ alkyl) $_cY^9Z^3$  (wherein

$c$  is 0 or 1,

$Z^3$  is an amino acid group and

$Y^9$  is a direct bond,  $-C(O)-$  or  $-NR^{61}-$  (wherein  $R^{61}$  is hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl)  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl); and

- 9)  $C_{1-7}$ alkyl $R^{15}$  (wherein  $R^{15}$  is as defined herein); and

$R^9$  is hydrogen,  $C_{1-7}$ alkyl or  $C_{3-7}$ cycloalkyl, which alkyl or cycloalkyl group may bear one or more substituents selected from  $C_{1-4}$ alkoxy and phenyl;

$R^1$ ,  $R^2$  and  $R^3$  are each independently hydrogen,  $PO_3H_2$ , sulphate,  $C_{3-7}$ cycloalkyl,  $C_{2-7}$ alkenyl,  $C_{2-7}$ alkynyl,  $C_{1-7}$ alkanoyl, a group  $R^{20}C_{1-7}$ alkyl (wherein  $R^{20}$  is phenyl which may bear one or more substituents selected from  $C_{1-4}$ alkyl,  $C_{1-4}$ alkoxy,  $C_{1-4}$ aminoalkyl and  $C_{1-4}$ hydroxyalkoxy),  $C_{1-7}$ alkyl or  $C_{1-7}$ alkylsulphonyl,

which alkyl or alkylsulphonyl group may bear one or more substituents selected from: halogeno, amino,  $C_{1-4}$ alkylamino,  $di(C_{1-4}alkyl)amino$ , hydroxy,  $C_{1-4}alkoxy$ ,  $C_{1-4}alkylsulphanyl$ ,  $C_{1-4}alkylsulphonyl$ ,  $C_{1-4}alkoxycarbonylamino$ ,  $C_{1-4}alkanoyl$ , carboxy, phenyl, nitro, sulphate, phosphate and a group  $-Y^2R^{21}$ , wherein  $-Y^2R^{21}$  (wherein

$Y^2$  is  $-NR^{22}C(O)-$  or  $O-C(O)-$  (wherein  $R^{22}$  represents hydrogen,  $C_{1-3}alkyl$  or  $C_{1-3}alkoxyC_{2-3}alkyl$ ) and

$R^{21}$  is  $C_{1-7}alkyl$ ,  $C_{3-7}cycloalkyl$  or a group  $R^{23}$  wherein  $R^{23}$  is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino,  $C_{1-4}alkyl$ ,  $C_{1-4}haloalkyl$ ,  $C_{1-4}alkoxy$ ,  $C_{1-4}hydroxyalkyl$ ,  $C_{1-4}aminoalkyl$ ,  $C_{1-4}alkylamino$ ,  $C_{1-4}hydroxyalkoxy$ , carboxy, cyano,  $-CONR^{24}R^{25}$  and  $-NR^{26}COR^{27}$  (wherein  $R^{24}$ ,  $R^{25}$ ,  $R^{26}$  and  $R^{27}$ , which may be the same or different, each represents hydrogen,  $C_{1-3}alkyl$  or  $C_{1-3}alkoxyC_{2-3}alkyl$ );

with the proviso that at least two of  $R^1$ ,  $R^2$  and  $R^3$  are  $C_{1-7}alkyl$ ;

$R^4$  is hydrogen, cyano, halogeno, nitro, amino, hydroxy,  $C_{1-7}alkoxy$ ,  $C_{1-7}thioalkoxy$ ,  $C_{1-7}alkanoyl$  or  $C_{1-7}alkyl$ ,

which alkyl group may bear one or more substituents selected from: halogeno, amino,  $C_{1-4}alkylamino$ ,  $di(C_{1-4}alkyl)amino$ , hydroxy,  $C_{1-4}alkoxy$ ,  $C_{1-4}alkylsulphanyl$ ,  $C_{1-4}alkylsulphonyl$ ,  $C_{1-4}alkoxycarbonylamino$ ,  $C_{1-4}alkanoyl$ , carboxy, phenyl, nitro, sulphate, phosphate and a group  $-Y^3R^{28}$ ,  $-Y^3R^{29}$  wherein

$Y^3$  is  $-NR^{29}C(O)-$  or  $O-C(O)-$  (wherein  $R^{29}$  represents hydrogen,  $C_{1-3}alkyl$  or  $C_{1-3}alkoxyC_{2-3}alkyl$ ) and

$R^{28}$  is  $C_{1-7}alkyl$ ,  $C_{3-7}cycloalkyl$  or a group  $R^{30}$  wherein  $R^{30}$  is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected

from hydroxy, nitro, halogeno, amino, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>haloalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, C<sub>1-4</sub>hydroxyalkoxy, carboxy, cyano, -CONR<sup>31</sup>R<sup>32</sup> and -NR<sup>31</sup>COR<sup>32</sup> (wherein R<sup>31</sup>, R<sup>32</sup>, R<sup>33</sup> and R<sup>34</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl);

R<sup>5</sup> and R<sup>6</sup> are each independently selected from hydrogen, -OPO<sub>3</sub>H<sub>2</sub>, phosphonate, cyano, halogeno, nitro, amino, carboxy, carbamoyl, hydroxy, C<sub>1-7</sub>alkoxy, C<sub>1-7</sub>alkanoyl, C<sub>1-7</sub>thioalkoxy, C<sub>1-7</sub>alkyl,

which alkyl group may bear one or more substituents selected from: halogeno, amino, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, hydroxy, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkylsulphonyl, C<sub>1-4</sub>alkylsulphonyl, C<sub>1-4</sub>alkoxycarbonylamino, C<sub>1-4</sub>alkanoyl, carboxy, phenyl, sulphate, phosphate and a group -Y<sup>3</sup>R<sup>28</sup>, wherein -Y<sup>3</sup>R<sup>28</sup>

(wherein Y<sup>3</sup> is NR<sup>29</sup>C(O)- or -O-C(O)- (wherein R<sup>29</sup> represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and

R<sup>28</sup> is C<sub>1-7</sub>alkyl, C<sub>3-7</sub>cycloalkyl or a group R<sup>30</sup> wherein R<sup>30</sup> is a phenyl group or a 5-10 membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>haloalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, C<sub>1-4</sub>hydroxyalkoxy, carboxy, cyano, -CONR<sup>31</sup>R<sup>32</sup> and -NR<sup>31</sup>COR<sup>32</sup> (wherein R<sup>31</sup>, R<sup>32</sup>, R<sup>33</sup> and R<sup>34</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl), and

a group -Y<sup>4</sup>R<sup>35</sup>, -Y<sup>4</sup>R<sup>36</sup> wherein

Y<sup>4</sup> is -C(O)-, -OC(O)-, -O-, -SO-, -SO<sub>2</sub>-, -OSO<sub>2</sub>-, -NR<sup>36</sup>-, -C<sub>1-4</sub>alkylNR<sup>36</sup>-, -C<sub>1-4</sub>alkylC(O)-, -NR<sup>37</sup>C(O)-, OC(O)O-, -C(O)NR<sup>38</sup>- or -NR<sup>39</sup>C(O)O- (wherein R<sup>36</sup>, R<sup>37</sup>, R<sup>38</sup> and R<sup>39</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and

$R^{33}$  is a sugar moiety, a mono-peptide, a di-peptide, a tri-peptide, a tetra-peptide, sulphate, hydroxy, amino,  $C_{1-7}$ alkyl,  $C_{1-7}$ alkoxy,  $C_{1-7}$ alkanoyl,  $C_{1-7}$ alkylamino,  $di(C_{1-7}$ alkyl)amino, amino $C_{1-7}$ alkylamino,  $C_{1-7}$ alkylamino $C_{1-7}$ alkylamino,  $C_{1-7}$ alkanoylamino $C_{1-7}$ alkyl,  $di(C_{1-7}$ alkyl)amino $C_{1-7}$ alkylamino,  $C_{1-7}$ alkylphosphate,  $C_{1-7}$ alkylphosphonate,  $C_{1-7}$ alkylcarbamoyl $C_{1-7}$ alkyl,

which ~~(wherein)~~ alkyl, alkoxy, alkanoyl, alkylamino, dialkylamino, aminoalkylamino, alkylaminoalkylamino, alkanoylaminoalkyl, dialkylaminoalkylamino, alkylphosphate, alkylphosphonate or alkylcarbamoylalkyl, may bear one or more substituents selected from: halogeno, amino,  $C_{1-4}$ alkylamino,  $di(C_{1-4}$ alkyl)amino, hydroxy,  $C_{1-4}$ hydroxyalkyl,  $C_{1-4}$ alkoxy,  $C_{1-4}$ alkylsulphonyl,  $C_{1-4}$ alkylsulphonyl,  $C_{1-4}$ alkoxycarbonylamino,  $C_{1-4}$ alkanoyl, carboxy, phenyl, nitro, sulphate, phosphate and a group  $-Y^5R^{40}$ , wherein  ~~$-Y^5R^{40}$  (wherein~~

$Y^5$  is  $-NR^{41}C(O)-$ ,  $C(O)NR^{42}-$ ,  $-C(O)-O-$  or  $-O-C(O)-$  (wherein  $R^{41}$  and  $R^{42}$  which may be the same or different each represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl) and

$R^{40}$  is  $C_{1-7}$ alkyl,  $C_{3-7}$ cycloalkyl, carboxy $C_{1-7}$ alkyl or a group  $R^{43}$  wherein  $R^{43}$  is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino,  $C_{1-4}$ alkyl,  $C_{1-4}$ haloalkyl,  $C_{1-4}$ alkoxy,  $C_{1-4}$ hydroxyalkyl,  $C_{1-4}$ aminoalkyl,  $C_{1-4}$ alkylamino,  $C_{1-4}$ hydroxyalkoxy, carboxy, cyano,  $-CONR^{44}R^{45}$  and  $-NR^{46}COR^{47}$  (wherein  $R^{44}$ ,  $R^{45}$ ,  $R^{46}$  and  $R^{47}$ , which may be the same or different, each represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl)  ~~$C_{1-3}$ alkoxy $C_{2-3}$ alkyl),~~

$R^{48}$ , wherein  $R^{48}$  (wherein  $R^{48}$  is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with

1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from

hydroxy, nitro, halogeno, amino, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>haloalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkyl, di(C<sub>1-4</sub>hydroxyalkyl)aminoC<sub>1-4</sub>alkyl, di(C<sub>1-4</sub>aminoalkyl)aminoC<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkoxy, carboxy, C<sub>1-4</sub>carboxyalkyl, phenyl, cyano, -CONR<sup>49</sup>R<sup>50</sup>, -NR<sup>51</sup>COR<sup>52</sup> (wherein R<sup>49</sup>, R<sup>50</sup>, R<sup>51</sup> and R<sup>52</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and C<sub>1-4</sub>alkylR<sup>53</sup> (wherein R<sup>53</sup> is as defined herein),

C<sub>1-7</sub>alkylR<sup>48</sup> (wherein R<sup>48</sup> is as defined herein),

R<sup>53</sup>, wherein R<sup>53</sup> (wherein R<sup>53</sup> is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>carboxyalkyl, C<sub>1-4</sub>aminoalkyl, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl and R<sup>54</sup>, wherein R<sup>54</sup> (wherein R<sup>54</sup> is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl and C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl (wherein C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl), or

(CH<sub>2</sub>)<sub>a</sub>Y<sup>6</sup>(CH<sub>2</sub>)<sub>b</sub>R<sup>53</sup>, wherein (CH<sub>2</sub>)<sub>a</sub>Y<sup>6</sup>(CH<sub>2</sub>)<sub>b</sub>R<sup>53</sup> (wherein

R<sup>53</sup> is as defined herein, a is 0, or an integer 1-4,

b is 0 or an integer 1-4 and

$Y^6$  represents a direct bond, -O-, -C(O)-, -NR<sup>55</sup>-, -NR<sup>56</sup>C(O)- or -C(O)NR<sup>57</sup>.  
(wherein R<sup>55</sup>, R<sup>56</sup>, and R<sup>57</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl),

and wherein one or more of the (CH<sub>2</sub>)<sub>a</sub> or (CH<sub>2</sub>)<sub>b</sub> groups may bear one or more substituents selected from hydroxy, amino and halogeno halogeno)

with the proviso that R<sup>5</sup> is not hydroxy, alkoxy, substituted alkoxy (wherein R<sup>5</sup> is Y<sup>4</sup>R<sup>35</sup> and Y<sup>4</sup> is -O- and R<sup>35</sup> is C<sub>1-7</sub>alkyl bearing one or more substituents selected from the list given herein), -OPO<sub>3</sub>H<sub>2</sub>, -O-C<sub>1-7</sub>alkanoyl or benzyloxy;

with the further proviso that at least one of R<sup>5</sup> or R<sup>6</sup> is a group -Y<sup>4</sup>R<sup>35</sup> (wherein Y<sup>4</sup> and R<sup>35</sup> are as defined herein) but with the further provisos

that when R<sup>5</sup> is -Y<sup>4</sup>R<sup>35</sup> and R<sup>6</sup> is hydrogen, hydroxy, methoxy or methoxycarbonyl, -Y<sup>4</sup>R<sup>35</sup> is not selected from cases wherein:

Y<sup>4</sup> is C(O)-, -OC(O)-, -O-, -SO-, -OSO<sub>2</sub>-, -NR<sup>36</sup>-, -NR<sup>37</sup>C(O)- or -C(O)NR<sup>38</sup>.  
(wherein R<sup>36</sup>, R<sup>37</sup> and R<sup>38</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and

R<sup>35</sup> is a glycine, valine or lysine group, a dipeptide of glycine and valine groups, C<sub>1-7</sub>alkyl, C<sub>1-7</sub>alkoxy, C<sub>1-7</sub>alkanoyl, (which alkyl, alkoxy or alkanoyl may bear one or more substituents selected from: halogeno, hydroxy, and a group -Y<sup>5</sup>R<sup>40</sup> (wherein Y<sup>5</sup> is -O-C(O)- and R<sup>40</sup> is C<sub>1-7</sub>alkyl C<sub>1-7</sub>alkyl)), or R<sup>48</sup>, wherein R<sup>48</sup> (wherein R<sup>48</sup> is a tetrazolyl group (which may or may not be substituted as herein defined), a phenyl group or a benzyl group which phenyl or benzyl group may bear one or more substituents selected from C<sub>1-4</sub>alkyl C<sub>1-4</sub>alkyl); and

(that when R<sup>6</sup> is -Y<sup>4</sup>R<sup>35</sup> and R<sup>5</sup> is hydrogen, hydroxy, methoxy or methoxycarbonyl, -Y<sup>4</sup>R<sup>35</sup> is not selected from cases wherein:

Y<sup>4</sup> is -C(O)-, -O- or -OSO<sub>2</sub>- and

R<sup>35</sup> is C<sub>1-7</sub>alkyl, C<sub>1-7</sub>alkoxy (which alkyl, alkoxy or alkanoyl may bear one or more substituents selected from: halogeno), R<sup>48</sup> (wherein R<sup>48</sup> is a benzyl group which



benzyl group may bear one or more substituents selected from  $C_{1-4}$ alkyl, or  $R^{53}$   
(wherein  $R^{53}$  is piperidinyl);

or a salt thereof.

Claim 3 (canceled).

Claim 4 (currently amended and reformatted): A compound according to claim 2  
wherein

X is  $-\text{CH}(\text{R}^7)-$ ,  $-\text{CH}(\text{R}^7)-$  wherein

$\text{R}^7$  is  $-\text{OR}^8$  or  $-\text{NR}^8\text{R}^9$ , wherein  $-\text{NR}^8\text{R}^9$  (wherein  $\text{R}^8$  is a group  $-\text{Y}^1\text{R}^{10}$  (wherein  $\text{Y}^1$  is  
 $-\text{C}(\text{O})-$ ,  $-\text{C}(\text{O})\text{O}-$  or  $-\text{C}(\text{O})\text{NR}^{11}-$  (wherein  $\text{R}^{11}$  represents hydrogen,  $C_{1-3}$ alkyl or  
 $C_{1-3}$ alkoxy $C_{2-3}$ alkyl) and  $\text{R}^{10}$  is as defined in claim 2) and  $\text{R}^9$  is as defined in claim  
2 claim 2).

Claim 5 (previously presented): A compound according to claim 2 wherein  $\text{R}^1$ ,  
 $\text{R}^2$  and  $\text{R}^3$  are each methyl.

Claim 6 (previously presented): A compound according to claim 2 wherein  $\text{R}^4$  is  
hydrogen.

Claim 7 (currently amended and reformatted): A compound according to claim 2  
wherein  $\text{R}^6$  is hydrogen, halogeno, amino, carboxy, hydroxy,  $C_{1-7}$ alkoxy or a group

$\text{Y}^2\text{R}^{35}$ ,  $\text{Y}^2\text{R}^{35}$  wherein

$\text{Y}^2$  is  $-\text{C}(\text{O})-$ ,  $-\text{O}-$  or  $-\text{OSO}_2-$  and

$\text{R}^{35}$  is  $C_{1-7}$ alkyl,  $C_{1-7}$ alkoxy (which alkyl or alkoxy may bear one or more substituents  
selected from halogeno),  $\text{R}^{48}$  (wherein  $\text{R}^{48}$  is a benzyl group) or  $\text{R}^{53}$  (wherein  $\text{R}^{53}$  is

a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms selected independently from O, S and N).

Claim 8 (previously presented): A compound according to claim 2 wherein R<sup>6</sup> is hydrogen, C(O)OCH<sub>3</sub> or methoxy.

Claim 9 (currently amended and reformatted): A compound according to claim claims 2 wherein

R<sup>5</sup> is hydrogen, halogeno, amino, carboxy, carbamoyl, C<sub>1-7</sub>alkanoyl, C<sub>1-7</sub>thioalkoxy, or a group -Y<sup>4</sup>R<sup>35</sup>, -Y<sup>4</sup>R<sup>36</sup> wherein

Y<sup>4</sup> is -C(O)-, -OC(O)-, -O-, -SO-, -OSO<sub>2</sub>-, -NR<sup>36</sup>-, -NR<sup>37</sup>C(O)- or -C(O)NR<sup>38</sup>- (wherein R<sup>36</sup>, R<sup>37</sup> and R<sup>38</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and

R<sup>33</sup> is a sugar moiety, a mono-peptide, a di-peptide, a tri-peptide, a tetra-peptide, C<sub>1-7</sub>alkyl, C<sub>1-7</sub>alkoxy, C<sub>1-7</sub>alkanoyl, C<sub>1-7</sub>alkanoylaminoC<sub>1-7</sub>alkyl,

which (which alkyl, alkoxy, alkanoyl, alkanoylaminoalkyl may bear one or more substituents selected from: halogeno, amino, hydroxy, carboxy, and a group -Y<sup>5</sup>R<sup>40</sup>, wherein -Y<sup>5</sup>R<sup>40</sup> (wherein

Y<sup>5</sup> is -C(O)-O- or -O-C(O)- and

R<sup>40</sup> is C<sub>1-7</sub>alkyl or a group R<sup>41</sup> wherein R<sup>41</sup> is a benzyl group, group),

R<sup>48</sup>, wherein R<sup>48</sup> (wherein R<sup>48</sup> is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from

hydroxy, fluoro, amino, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkyl, di(C<sub>1-4</sub>hydroxyalkyl)aminoC<sub>1-4</sub>alkyl, di(C<sub>1-4</sub>aminoalkyl)aminoC<sub>1-4</sub>alkyl,

$C_{1-4}$ hydroxyalkoxy, carboxy,  $C_{1-4}$ carboxyalkyl, cyano,  $-CONR^{49}R^{50}$ ,  
 $-NR^{51}COR^{52}$  (wherein  $R^{49}$ ,  $R^{50}$ ,  $R^{51}$  and  $R^{52}$ , which may be the same or  
different, each represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl) and  
 $C_{1-4}$ alkyl $R^{53}$  (wherein  $R^{53}$  is as defined herein),  $C_{1-7}$ alkyl $R^{48}$  (wherein  $R^{48}$  is as  
defined herein),  $R^{53}$ , wherein  $R^{53}$  (wherein

$R^{53}$  is a 5-6-membered saturated heterocyclic group (linked via carbon or  
nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which  
heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, fluoro, chloro,  $C_{1-4}$ alkyl,  $C_{1-4}$ hydroxyalkyl,  $C_{1-4}$ alkoxy,  
 $C_{1-4}$ carboxyalkyl,  $C_{1-4}$ aminoalkyl, di( $C_{1-4}$ alkyl)amino $C_{1-4}$ alkyl,  
 $C_{1-4}$ alkoxy $C_{1-4}$ alkyl,  $C_{1-4}$ alkylsulphonyl $C_{1-4}$ alkyl and  $R^{54}$ , wherein  $R^{54}$   
(wherein  $R^{54}$  is a 5-6-membered saturated heterocyclic group (linked via  
carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S  
and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno,  $C_{1-4}$ alkyl,  $C_{1-4}$ hydroxyalkyl,  $C_{1-4}$ alkoxy,  
 $C_{1-4}$ alkoxy $C_{1-4}$ alkyl and  $C_{1-4}$ alkylsulphonyl $C_{1-4}$ alkyl  
 $C_{1-4}$ alkylsulphonyl $C_{1-4}$ alkyl)), or

$(CH_2)_aY^6(CH_2)_bR^{53}$ , wherein  $(CH_2)_aY^6(CH_2)_bR^{54}$  (wherein

$R^{53}$  is as defined herein,

a is 0, or an integer 1-4,

b is 0 or an integer 1-4 and

$Y^6$  represents a direct bond,  $-O-$ ,  $C(O)-$ ,  $-NR^{55}-$ ,  $-NR^{56}C(O)-$  or  $-C(O)NR^{57}-$

(wherein  $R^{55}$ ,  $R^{56}$ , and  $R^{57}$ , which may be the same or different, each  
represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl),

and wherein one or more of the  $(CH_2)_a$  or  $(CH_2)_b$  groups may bear one or more  
substituents selected from hydroxy, amino and halogeno halogeno);

with the proviso that R<sup>5</sup> is not alkoxy, substituted alkoxy (wherein R<sup>5</sup> is Y<sup>4</sup>R<sup>35</sup> and Y<sup>4</sup> is -O- and R<sup>35</sup> is C<sub>1-7</sub>alkyl bearing one or more substituents selected from the list given herein), -O-C<sub>1-7</sub>alkanoyl or benzyloxy.

Claim 10 (original). A compound according to claim 2 selected from:

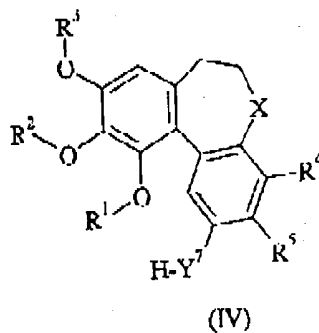
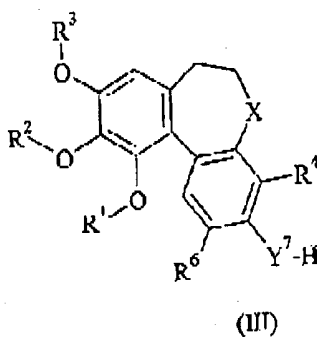
- (5S)-5-(acetyl amino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo[a,c]cyclohepten-3-yl  
3-(((2R)-2,6-diaminohexanoyl)amino)propanoate,  
(5S)-5-(acetyl amino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo[a,c]cyclohepten-3-yl  
3-[(2-aminoacetyl)amino]propanoate,  
N-[(5S)-5-(acetyl amino)-9,10,11-trimethoxy 6,7-dihydro-5H-dibenzo[a,c]cyclohepten-3-yl]oxymethyl)-2-morpholinoacetamide,  
(2S,3S,4S,5R,6R)-6-[[[(5S)-5-(acetyl amino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo-  
[a,c]cyclohepten-3-yl]oxy}-3,4,5-trihydroxytetrahydro-2H-pyran-2-carboxylic acid,  
N [(5S)-3-(4-{4-methylpiperazin-1-ylmethyl}phenylcarbonyloxy)-9,10,11-trimethoxy 6,  
7-dihydro-5H dibenzo[a,c]cyclohepten-5-yl]acetamide,  
N-[(5S)-3-(4-{morpholinomethyl}phenylcarbonyloxy)-9,10,11 trimethoxy-6,7-dihydro-5  
H-dibenzo[a,c]cyclohepten-5-yl]acetamide.  
(5S)-5-(acetyl amino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo[a,c]cyclohepten-3-yl  
3-[4-methylpiperazin-1-ylcarbonyl]propanoate,  
5-[[[(5S)-5-(acetyl amino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo[a,c]cyclohepten-3-yl]oxycarbonyl]pentanoic acid,  
4-{3-[(5S)-5-(acetyl amino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo[a,c]cyclohepten-3-yl]oxy-3-oxopropyl}benzoic acid and  
(2S)-N-[(5S)-5-(acetyl amino) 9,10,11-trimethoxy-6,7-dihydro 5H-dibenzo[a,c]-  
cyclohepten-3-yl]-2-amino-3-hydroxypropanamide,  
and salts thereof.

Claim 11 (original): A compound according to claim 2 selected from  
*N*-[(5*S*)-3-(4-{4 methylpiperazin-1-ylmethyl}phenylcarbonyloxy)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-5-yl]acetamide and  
 (2*S*)-*N*-[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]-2-amino-3 hydroxypropanamide,  
 and salts thereof.

Claim 12 (original): A compound according to claim 2 selected from  
 (2*S*)-*N*-[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy 6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]-2-amino-5-[(2-nitroethanimidoyl)amino]pentanamide  
 and salts thereof.

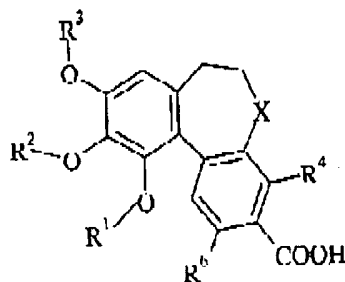
Claim 13. (original; previously formatted): A process for the manufacture of a compound of formula IIa as defined in claim 2 which comprises:

(a) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is a group  $Y^4R^{25}$  (wherein  $R^{25}$  is as defined in claim 2 and  $Y^4$  is a group -OC(O)- or NHC(O)-), the reaction of a compound of formula III or IV:



(wherein X,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$  are as defined in claim 2 and  $Y^7$  is O- or -NH-), by acylation or coupling reactions;

- (b) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is a group  $Y^4R^{35}$  (wherein  $R^{35}$  is  $C_{1-7}$ alkoxy which may be substituted as defined in claim 2 and  $Y^4$  is a group  $-OC(O)-$  or  $-NHC(O)-$ ), the reaction of a compound of formula III and IV, by acylation reactions;
- (c) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is a group  $Y^4R^{35}$  (wherein  $R^{35}$  is amino $C_{1-7}$ alkylamino,  $C_{1-7}$ alkylamino $C_{1-7}$ alkylamino, di( $C_{1-7}$ alkyl)amino $C_{1-7}$ alkylamino and may be substituted as defined in claim 2, or is  $R^{53}$  (wherein  $R^{53}$  is as defined in claim 2) and  $Y^4$  is a group  $-OC(O)-$  or  $-NHC(O)-$ ), can be prepared by the reaction of a compound of formula III or IV, acylation reactions;
- (d) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is a group  $Y^4R^{35}$  (wherein  $R^{35}$  is a sugar moiety and  $Y^4$  is a group  $-O-$  or  $-NH-$ ), the reaction of a compound of formula III or IV, glycosylation reactions;
- (e) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is a group  $Y^4R^{35}$  (wherein  $R^{35}$  is sulphate and  $Y^4$  is a group  $O-$  or  $-NH-$ ), the reaction of a compound of formula III or IV, by sulphonylation reactions;
- (f) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is a group  $Y^4R^{35}$  (wherein  $R^{35}$  is  $C_{1-7}$ alkylphosphate and may be substituted as defined in claim 2 and  $Y^4$  is a group  $O-$  or  $-NH-$ ), the reaction of a compound of formula III or IV, by phosphorylation reactions;
- (g) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  is amino the reaction of a carboxylic acid of formula V:



(V)

(wherein X, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup> and R<sup>6</sup> are as defined in claim 2) via Curtius rearrangement and hydrolysis; and

- (h) for the preparation of compounds of formula IIa and salts thereof in which R<sup>5</sup> or R<sup>6</sup> is chloro the reaction of a compound of formula III or IV by the Sandmeyer reaction; and when a pharmaceutically acceptable salt of a compound of formula IIa is required, reaction of the compound obtained with an acid or base whereby to obtain the desired pharmaceutically acceptable salt.

Claim 14 (original): A pharmaceutical composition which comprises as active ingredient a compound of formula IIa as defined in claim 2 or a pharmaceutically acceptable salt thereof in association with a pharmaceutically acceptable excipient or carrier.

Claim 15 (original): A method for producing a vascular damaging effect in a warm-blooded animal, such as a human being, in need of such treatment which comprises administering to said animal an effective amount of a compound of formula IIa or a pharmaceutically acceptable salt thereof as defined in claim 2.